

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

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International Bureau



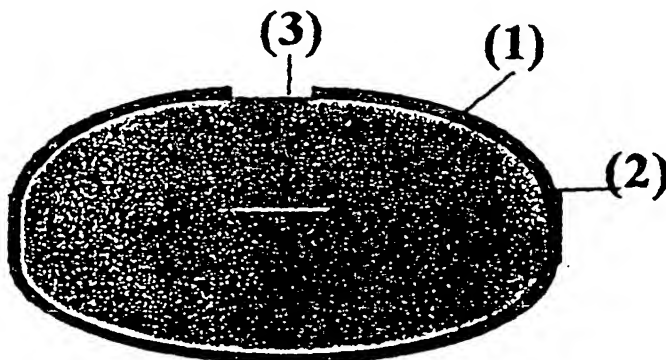
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PCT

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WO 03/075894 A1

- (51) International Patent Classification<sup>7</sup>: A61K 9/22 (81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
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MI2002A000515 12 March 2002 (12.03.2002) IT
- (71) Applicant (*for all designated States except US*): JAGOTEC AG [CH/CH]; Eptingerstrasse 51, CH-4132 Mültenz (CH).
- (71) Applicant (*for US only*): CONTE, Ubaldo [IT/IT]; Via Treviglio, 6, I-21052 Busto Arsizio (IT).
- (72) Inventor; and
- (75) Inventor/Applicant (*for US only*): MAGGI, Lauretta [IT/IT]; Via Folperti, 3, I-27100 Pavia (IT).
- (74) Agent: GERVASI, Gemma; Notarbartolo & Gervasi S.P.A., Corso di Porta Vittoria 9, I-20122 Milan (IT).
- (84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Declaration under Rule 4.17:**  
— of inventorship (Rule 4.17(iv)) for US only
- Published:**  
— with international search report  
— before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

(54) Title: A SYSTEM FOR THE CONTROLLED RELEASE OF ACTIVE INGREDIENTS



(57) Abstract: A new release system is disclosed for releasing one or more active substances vehicularised in it, at a predetermined rate and duration. The system is constituted by a pharmaceutical tablet, coated with a film of polymeric material impermeable and insoluble in aqueous fluids; on the coating film, one or more apertures of geometrically precise size and shape are made, through the use of a laser beam of appropriate power and intensity, in a way such that the active ingredient contained in the therapeutic system is released only from the non coated portion of the tablet surface at the desired rate and time. The technology for manufacturing such system is also described.

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**PCT**

From the INTERNATIONAL SEARCHING AUTHORITY

NOTIFICATION OF TRANSMITTAL OF  
THE INTERNATIONAL SEARCH REPORT  
OR THE DECLARATION

(PCT Rule 44.1)

To:  
NOTARBARTOLO & GERVASI S.P.A.  
Attn. Gervasi, Gemma  
Corso di Porta Vittoria, 9  
I-20122 Milano  
ITALY

NOTARBARTOLO & GERVASI  
MILANO  
RECEIVED  
17 AGO. 2003  
AGELA

Date of mailing  
(day/month/year) 05/08/2003

Applicant's or agent's file reference  
3084PTWO

**FOR FURTHER ACTION** See paragraphs 1 and 4 below

International application No.  
PCT/EP 03/02537

International filing date  
(day/month/year) 12/03/2003

Applicant  
JAGOTEC AG

1. ☒ The applicant is hereby notified that the International Search Report has been established and is transmitted herewith.

**Filing of amendments and statement under Article 19:**

The applicant is entitled, if he so wishes, to amend the claims of the International Application (see Rule 46):

**When?** The time limit for filing such amendments is normally 2 months from the date of transmittal of the International Search Report; however, for more details, see the notes on the accompanying sheet.

**Where?** Directly to the International Bureau of WIPO  
34, chemin des Colombettes  
1211 Geneva 20, Switzerland  
Facsimile No.: (41-22) 740.14.35

For more detailed instructions, see the notes on the accompanying sheet.

2. ☐ The applicant is hereby notified that no International Search Report will be established and that the declaration under Article 17(2)(a) to that effect is transmitted herewith.

3. ☐ With regard to the protest against payment of (an) additional fee(s) under Rule 40.2, the applicant is notified that:

☐ the protest together with the decision thereon has been transmitted to the International Bureau together with the applicant's request to forward the texts of both the protest and the decision thereon to the designated Offices.

☐ no decision has been made yet on the protest; the applicant will be notified as soon as a decision is made.


4. **Further action(s):** The applicant is reminded of the following:

Shortly after **18 months** from the priority date, the international application will be published by the International Bureau. If the applicant wishes to avoid or postpone publication, a notice of withdrawal of the international application, or of the priority claim, must reach the International Bureau as provided in Rules 90bis.1 and 90bis.3, respectively, before the completion of the technical preparations for international publication.

Within **19 months** from the priority date, a demand for international preliminary examination must be filed if the applicant wishes to postpone the entry into the national phase until 30 months from the priority date (in some Offices even later).

Within **20 months** from the priority date, the applicant must perform the prescribed acts for entry into the national phase before all designated Offices which have not been elected in the demand or in a later election within 19 months from the priority date or could not be elected because they are not bound by Chapter II.

Name and mailing address of the International Searching Authority

 European Patent Office, P.B. 5818 Patentlaan 2  
NL-2280 HV Rijswijk  
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
Fax: (+31-70) 340-3016

Authorized officer

Jaap Hurenkamp

## NOTES TO FORM PCT/ISA/220

These Notes are intended to give the basic instructions concerning the filing of amendments under article 19. The Notes are based on the requirements of the Patent Cooperation Treaty, the Regulations and the Administrative Instructions under that Treaty. In case of discrepancy between these Notes and those requirements, the latter are applicable. For more detailed information, see also the PCT Applicant's Guide, a publication of WIPO.

In these Notes, "Article", "Rule", and "Section" refer to the provisions of the PCT, the PCT Regulations and the PCT Administrative Instructions respectively.

### INSTRUCTIONS CONCERNING AMENDMENTS UNDER ARTICLE 19

The applicant has, after having received the international search report, one opportunity to amend the claims of the international application. It should however be emphasized that, since all parts of the international application (claims, description and drawings) may be amended during the international preliminary examination procedure, there is usually no need to file amendments of the claims under Article 19 except where, e.g. the applicant wants the latter to be published for the purposes of provisional protection or has another reason for amending the claims before international publication. Furthermore, it should be emphasized that provisional protection is available in some States only.

#### What parts of the international application may be amended?

Under Article 19, only the claims may be amended.

During the international phase, the claims may also be amended (or further amended) under Article 34 before the International Preliminary Examining Authority. The description and drawings may only be amended under Article 34 before the International Examining Authority.

Upon entry into the national phase, all parts of the international application may be amended under Article 28 or, where applicable, Article 41.

#### When?

Within 2 months from the date of transmittal of the international search report or 16 months from the priority date, whichever time limit expires later. It should be noted, however, that the amendments will be considered as having been received on time if they are received by the International Bureau after the expiration of the applicable time limit but before the completion of the technical preparations for international publication (Rule 46.1).

#### Where not to file the amendments?

The amendments may only be filed with the International Bureau and not with the receiving Office or the International Searching Authority (Rule 46.2).

Where a demand for international preliminary examination has been/is filed, see below.

#### How?

Either by cancelling one or more entire claims, by adding one or more new claims or by amending the text of one or more of the claims as filed.

A replacement sheet must be submitted for each sheet of the claims which, on account of an amendment or amendments, differs from the sheet originally filed.

All the claims appearing on a replacement sheet must be numbered in Arabic numerals. Where a claim is cancelled, no renumbering of the other claims is required. In all cases where claims are renumbered, they must be renumbered consecutively (Administrative Instructions, Section 205(b)).

The amendments must be made in the language in which the international application is to be published.

#### What documents must/may accompany the amendments?

##### Letter (Section 205(b)):

The amendments must be submitted with a letter.

The letter will not be published with the international application and the amended claims. It should not be confused with the "Statement under Article 19(1)" (see below, under "Statement under Article 19(1)").

The letter must be in English or French, at the choice of the applicant. However, if the language of the international application is English, the letter must be in English; if the language of the international application is French, the letter must be in French.

## NOTES TO FORM PCT/ISA/220 (continued)

The letter must indicate the differences between the claims as filed and the claims as amended. It must, in particular, indicate, in connection with each claim appearing in the international application (it being understood that identical indications concerning several claims may be grouped), whether

- (i) the claim is unchanged;
- (ii) the claim is cancelled;
- (iii) the claim is new;
- (iv) the claim replaces one or more claims as filed;
- (v) the claim is the result of the division of a claim as filed.

The following examples illustrate the manner in which amendments must be explained in the accompanying letter:

1. [Where originally there were 48 claims and after amendment of some claims there are 51]:  
"Claims 1 to 29, 31, 32, 34, 35, 37 to 48 replaced by amended claims bearing the same numbers; claims 30, 33 and 36 unchanged; new claims 49 to 51 added."
2. [Where originally there were 15 claims and after amendment of all claims there are 11]:  
"Claims 1 to 15 replaced by amended claims 1 to 11."
3. [Where originally there were 14 claims and the amendments consist in cancelling some claims and in adding new claims]:  
"Claims 1 to 6 and 14 unchanged; claims 7 to 13 cancelled; new claims 15, 16 and 17 added." or  
"Claims 7 to 13 cancelled; new claims 15, 16 and 17 added; all other claims unchanged."
4. [Where various kinds of amendments are made]:  
"Claims 1-10 unchanged; claims 11 to 13, 18 and 19 cancelled; claims 14, 15 and 16 replaced by amended claim 14; claim 17 subdivided into amended claims 15, 16 and 17; new claims 20 and 21 added."

### "Statement under article 19(1)" (Rule 46.4)

The amendments may be accompanied by a statement explaining the amendments and indicating any impact that such amendments might have on the description and the drawings (which cannot be amended under Article 19(1)).

The statement will be published with the international application and the amended claims.

**It must be in the language in which the international application is to be published.**

It must be brief, not exceeding 500 words if in English or if translated into English.

It should not be confused with and does not replace the letter indicating the differences between the claims as filed and as amended. It must be filed on a separate sheet and must be identified as such by a heading, preferably by using the words "Statement under Article 19(1)."

It may not contain any disparaging comments on the international search report or the relevance of citations contained in that report. Reference to citations, relevant to a given claim, contained in the international search report may be made only in connection with an amendment of that claim.

### Consequence if a demand for international preliminary examination has already been filed

If, at the time of filing any amendments under Article 19, a demand for international preliminary examination has already been submitted, the applicant must preferably, at the same time of filing the amendments with the International Bureau, also file a copy of such amendments with the International Preliminary Examining Authority (see Rule 62.2(a), first sentence).

### Consequence with regard to translation of the international application for entry into the national phase

The applicant's attention is drawn to the fact that, where upon entry into the national phase, a translation of the claims as amended under Article 19 may have to be furnished to the designated/elected Offices, instead of, or in addition to, the translation of the claims as filed.

For further details on the requirements of each designated/elected Office, see Volume II of the PCT Applicant's Guide.

# PCT

## INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference <b>3084PTWO</b>	<b>FOR FURTHER ACTION</b> see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below.	
International application No. <b>PCT/EP 03/02537</b>	International filing date (day/month/year) <b>12/03/2003</b>	(Earliest) Priority Date (day/month/year) <b>12/03/2002</b>
Applicant <b>JAGOTEC AG</b>		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 5 sheets.



It is also accompanied by a copy of each prior art document cited in this report.

### 1. Basis of the report

- a. With regard to the **language**, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.



the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

- b. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international search was carried out on the basis of the sequence listing :



contained in the international application in written form.



filed together with the international application in computer readable form.



furnished subsequently to this Authority in written form.



furnished subsequently to this Authority in computer readable form.



the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.



the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished

2. ☐ **Certain claims were found unsearchable** (See Box I).

3. ☐ **Unity of invention is lacking** (see Box II).

4. With regard to the **title**,



the text is approved as submitted by the applicant.



the text has been established by this Authority to read as follows:

5. With regard to the **abstract**,



the text is approved as submitted by the applicant.



the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. The figure of the **drawings** to be published with the abstract is Figure No.



as suggested by the applicant.



because the applicant failed to suggest a figure.



because this figure better characterizes the invention.

1



None of the figures.

## INTERNATIONAL SEARCH REPORT

Application No  
PCT/EP 03/02537A. CLASSIFICATION OF SUBJECT MATTER  
IPC 7 A61K9/22

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)  
IPC 7 A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, BIOSIS, EMBASE, MEDLINE

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 5 256 440 A (APPEL LEAH E ET AL) 26 October 1993 (1993-10-26) column 1, line 65 -column 2, line 7 column 2, line 24 - line 31; figure 1 column 7, line 9 - line 10 examples claims ---	1-5, 7-14
X	WO 94 01239 A (MERCK & CO INC) 20 January 1994 (1994-01-20)  page 5, line 29 -page 6, line 9 page 6, line 34 -page 7, line 1 page 8, line 1 - line 13 examples claims --- -/--	1-5, 7-14, 16, 17

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

## \* Special categories of cited documents :

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \* & \* document member of the same patent family

Date of the actual completion of the international search

29 July 2003

Date of mailing of the international search report

05/08/2003

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
NL - 2280 HV Rijswijk  
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
Fax: (+31-70) 340-3016

Authorized officer

Epskamp, S

## INTERNATIONAL SEARCH REPORT

International Application No  
PCT/EP 03/02537

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 96 18478 A (ALZA CORP) 20 June 1996 (1996-06-20) page 6, line 24 - line 29; figures 3,4 page 14, line 17 -page 15, line 28 claims ---	1-17
A	EP 0 631 775 A (EKITA INVESTMENTS NV) 4 January 1995 (1995-01-04) page 3, line 1 - line 19 page 5, line 13 - line 43 examples figures claims ----	1-17
A	EP 0 294 993 A (PFIZER) 14 December 1988 (1988-12-14) column 1, line 46 -column 2, line 8 column 5, line 4 - line 10 column 6, line 51 - line 55 examples figures claims -----	1-17

## INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 03/02537

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
US 5256440	A	26-10-1993	NONE	
WO 9401239	A	20-01-1994	US 5376771 A AU 4657093 A CA 2137697 A1 EP 0649358 A1 JP 3058350 B2 JP 7508674 T WO 9401239 A1	27-12-1994 31-01-1994 20-01-1994 26-04-1995 04-07-2000 28-09-1995 20-01-1994
WO 9618478	A	20-06-1996	US 5658474 A AT 185998 T AU 4373296 A DE 69513044 D1 DE 69513044 T2 DK 797491 T3 EP 0797491 A1 ES 2139956 T3 GR 3032378 T3 JP 10510787 T WO 9618478 A1 US 5698119 A	19-08-1997 15-11-1999 03-07-1996 02-12-1999 08-06-2000 03-04-2000 01-10-1997 16-02-2000 27-04-2000 20-10-1998 20-06-1996 16-12-1997
EP 0631775	A	04-01-1995	IT 1264517 B1 AT 183386 T AU 677833 B2 AU 6342694 A CA 2124553 A1 DE 69420087 D1 DE 69420087 T2 DK 631775 T3 EP 0631775 A1 ES 2138633 T3 GR 3031841 T3 JP 7138150 A NZ 260630 A SI 631775 T1 US 5487901 A US 5650169 A	24-09-1996 15-09-1999 08-05-1997 08-12-1994 01-12-1994 23-09-1999 23-03-2000 06-03-2000 04-01-1995 16-01-2000 29-02-2000 30-05-1995 28-08-1995 29-02-2000 30-01-1996 22-07-1997
EP 0294993	A	14-12-1988	US 4792448 A AT 64850 T AU 598938 B2 AU 1761488 A CA 1326821 C CN 1030358 A ,B CS 8804011 A2 DD 284599 A5 DE 3863493 D1 DK 318788 A EG 18919 A EP 0294993 A1 FI 882780 A ,B, GR 3002260 T3 IE 61123 B1 IL 86631 A JP 1009930 A JP 1955902 C	20-12-1988 15-07-1991 05-07-1990 15-12-1988 08-02-1994 18-01-1989 14-08-1990 21-11-1990 08-08-1991 06-02-1989 30-06-1994 14-12-1988 12-12-1988 30-12-1992 05-10-1994 16-09-1991 13-01-1989 28-07-1995



## INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 03/02537

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP 0294993	A	JP 6088900 B	09-11-1994
		KR 9004092 B1	15-06-1990
		NO 882565 A ,B,	12-12-1988
		NZ 224981 A	26-07-1991
		PH 25174 A	27-03-1991
		PT 87701 A ,B	01-07-1988
		RU 2072835 C1	10-02-1997
		YU 112688 A1	30-04-1990
		ZA 8804163 A	28-02-1990
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